

IRB BARCELONA 2011 ANNUAL REPORT

Research Programmes

CHEMICAL AND MOLECULAR PHARMACOLOGY

Antoni Riera: Asymmetric Synthesis



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Highlights

- Design and synthesis of new somatostatin analogues with high selectivity towards SSTR1 and SSTR3 receptors.
- Enantioselective synthesis of sphingadienines and aromatic ceramide analogues.
- Improved procedure for the synthesis of P-stereogenic ligands based on the ring opening of bulky oxazaphospholidines.
- Pauson-Khand chemistry: novel reaction using propargylamine salts and a study of the photochemistry of norbornadiene-diarylacetylene adducts.
- Study of the coordination chemistry of PNSO ligands with several transition metals (Ir, Cu, Pd, and Pt)

Publications

- León T, Riera A and Verdager X.
Stereoselective synthesis of P-stereogenic aminophosphines: ring opening of bulky oxazaphospholidines
J Am Chem Soc, **133**, 5740-3 (2011)
- Ramón R, Martín-Gago P, Verdager X, Macias MJ, Martín-Malpartida P, Fernández-Carneado J, Gomez-Caminals M, Ponsati B, López-Ruiz P, Cortés MA, Colás B and Riera A.
SSTR1- and SSTR3-Selective Somatostatin Analogues
Chembiochem, **12**, 625-32 (2011)
- Achard, T, Benet-Buchholz, J, Escudero-Adan, E. C, Riera, A, Verdager, X
N-Benzyl-N-phosphino-tert-butylsulfonamide and Its Coordination Modes with Ir(I), Cu(I), Pd(II), and Pt(II): P,S or P,O?
Organometallics, **30**, 3119-3130 (2011)
- Ji, Y, Riera, A, Verdager, X
Saline intermolecular Pauson-Khand reactions of propargyl amine
Eur J Org Chem, **2011**, 1438-1442 (2011)
- Moreno M, Murruzzu C and Riera A.
Enantioselective synthesis of sphingadienines and aromatic ceramide analogs
Org Lett, **33**, 5184-7 (2011)
- Ji Y, Verdager X and Riera A.
Solvent and substituent effects on the photochemistry of norbornadiene-diarylacetylene Pauson-Khand adducts
Chemistry, **17**, 3942-8 (2011)

PhD Theses

- Lligands N-fosfinosulfinamida i aminodifosfina: Síntesi i aplicacions en la reacció de Pauson-Khand i la hidrogenació asimètrica. Marc Revés Vilaplana, University of Barcelona (2011). Thesis director: Xavier Verdaguer Espauella. Honors: Summa Cum Laude
- Nuevas aproximaciones sintéticas a bases esfingoides y ácidos pipercolicos. María Moreno Díaz-Alejo, University of Barcelona (2011). Thesis director: Antoni Riera. Honors: Summa Cum Laude
- Obtención de compuestos biológicamente activos mediante reacciones intermoleculares de Pauson-Khand. Ana Vázquez Romero, University of Barcelona (2011). Thesis director: Antoni Riera. Honors: Summa Cum Laude

Patents

- *A process for the preparation of Trans-(2R)-4-Substituted-Pipecolic Acids and Esters thereof, and intermediate compounds used therein.* Antoni Riera, Alexander Comely, Xavier Ginesta. Publication number/date: WO2011039290 (07/04/2011). Status: Pre-grant publication
- *Enantiomerically enriched aminodiphosphines as ligands for the preparation of catalysts for asymmetric synthesis.* Mónica Alonso Xalma, Xavier Verdaguer Espauella, Marc Reves Vilaplana, Antoni Riera Escalé. Publication number/date: WO2011098160 (18/08/2011). Status: Pre-grant publication

Research projects

- Ligand Engineering for Pauson-Khand Reactions (LE-PKR) 294045 FP7- People-CIG Marie-Curie Action: "Career Integration Grants" European Commission (EC) 2010-2013. Principal investigator: Agustí Lledó
- UNITAT DE RECERCA EN SÍNTESI ASIMÈTRICA 2009 SGR 901 Grup de Recerca reconegut de la Generalitat de Catalunya Agency for Administration of University and Research Grants (AGAUR) 2009-2013. Principal investigator: Antoni Riera
- Síntesis enantioselectiva de compuestos biológicamente activos y desarrollo de nueva metodología sintética CTQ2008-00763 Proyectos Investigación Fundamental Spanish Ministry of Science and Innovation (MICINN) 2009-2011. Principal investigator: Antoni Riera

Collaborations

- *NMR studies of peptide structures*, Maria Macias, IRB Barcelona (Barcelona, Spain)
- *Synthesis of pharmaceutically active compounds*, Llorenç Rafecas, Alex Comely and Nicolas Tesson, Enantia SL (Barcelona, Spain)
- *Synthesis of Somatostatin analogues*, Berta Ponsati, Jimena Fernández-Carneado and Marc Gómez, BCN Peptides SL (Barcelona, Spain)



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